\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 18 sss full

FULL SEARCH INITIATED 17:34:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 95906 TO ITERATE

100.0% PROCESSED 95906 ITERATIONS

SEARCH TIME: 00.00.02

L10 564 SEA SSS FUL L8

=> d 13

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

564 ANSWERS

=> d his

(FILE 'HOME' ENTERED AT 17:14:34 ON 16 SEP 2006)

FILE 'REGISTRY' ENTERED AT 17:14:49 ON 16 SEP 2006 ACTIVATE TEN509483/A

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L1 STR

L2 1265 SEA FILE=REGISTRY SSS FUL L1

L3 STRUCTURE UPLOADED QUASUBSEA

L4 1015 S L3 FULL SUB=L2

L5 250 S L2 NOT L4

FILE 'CAPLUS' ENTERED AT 17:24:41 ON 16 SEP 2006

L6 107 S L5

FILE 'REGISTRY' ENTERED AT 17:25:06 ON 16 SEP 2006

L7 STRUCTURE UPLOADED
L8 STRUCTURE UPLOADED

L9 16 S L8

L10 564 S L8 SSS FULL /

=> s 13 sub=110 full FULL SUBSET SEARCH INITIATED 17:36:33 FILE 'REGISTRY'

10509483

FULL SUBSET SCREEN SEARCH COMPLETED - 564 TO ITERATE

100.0% PROCESSED 564 ITERATIONS 425 ANSWERS

SEARCH TIME: 00.00.01

L11 425 SEA SUB=L10 SSS FUL L3

=> s l10 not l11

L12 139 L10 NOT L11

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

275.11

228.00

FULL ESTIMATED COST

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FILE COVERS 1907 - 16 Sep 2006 VOL 145 ISS 13 FILE LAST UPDATED: 15 Sep 2006 (20060915/ED)

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http://www.cas.org/infopolicy.html

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L13 101 L12

=> d l13 1-20 bib abs fhitstr

L13 ANSWER 1 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:579570 CAPLUS

DN 145:62926

TI Preparation of phenyl piperazinyl methanone derivatives for the treatment of Alzheimer's disease

IN Jolidon, Synese; Narquizian, Robert; Norcross, Roger, David; Pinard, Emmanuel

PA F. Hoffmann-La Roche AG, Switz.

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006128712 **A1** 20060615 US 2005-291216 20051201 PRAI EP 2004-106440 Α 20041209 MARPAT 145:62926 os GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [R1 = Q1, etc.; R2 = nonarom. heterocycle, OR', N(R'')2; R' = alkyl, optionally substituted alkyl with halo, -(CH2)n-cycloalkyl; R'' = alkyl; R3 = NO2, CN, SO2R'; R4 = H, hydroxy, halo, etc.; X1 = CH, N; X2 = O, S, NH, etc.; n = 0-2] and their pharmaceutically active addition salts were prepared For example, reaction of 2,6-dichlorobenzothiazole with piperazine followed by TBTU mediated acylation using 2-(morpholin-4-yl)-5-nitrobenzoic acid, e.g., prepared from 2-fluoro-5-nitrobenzoic acid, afforded compound II. In glycine uptake inhibition assays, the IC50 value of compound II was 0.271 μM.

IT 610320-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of Ph piperazinyl methanone derivs. for treatment of Alzheimer's disease)

RN 610320-19-9 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:99736 CAPLUS

DN 144:184692

TI Use of compounds active on the sigma receptor for the treatment of

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=> d 113 21 23 29 30 31 33 34 35 39 41 46 47 87 94 95 bib abs hitstr
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L13 ANSWER 21 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

2003:633674 CAPLUS AN

DN 139:180085

Preparation of novel aryl- and heteroarylpiperazines with histamine H3 ΤI receptor affinity

Hohlweg, Rolf; Doerwald, Florencio Zaragoza; Stephensen, Henrik; IN Pettersson, Ingrid; Peschke, Bernd

Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H. PA

PCT Int. Appl., 145 pp. SO CODEN: PIXXD2

DTPatent

English LΑ

FAN.	CNT	1	•															
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			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,
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		2004				A						004-						
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PRAI		2002				A		2002										
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		2002				W		2002										
	WO	2003	-DK/	T		W		2003	0205	•								

MARPAT 139:180085

os

GI

AB Novel aryl- and heteroarylpiperazines of formula I [R1 = alkyl, alkenyl, alkynyl, cycloalkyl, not isobutyl; R2 = H, alkyl; R1R2 = alkylene; R3 = H, halo, OH, CF3, OCF3, alkyl, cycloalkyl, alkoxy, aryl, etc.; A = aryl, heteroaryl, etc.] are prepared and used in pharmaceutical compns. The compds. show a high and selective binding affinity to the histamine H3 receptor indicating histamine H3 receptor antagonistic, inverse agonistic or agonistic activity. As a result, the compds. are useful for the treatment of diseases and disorders related to the histamine H3 receptor. Thus, II was prepared from 1-(4-hydroxyphenyl)piperazine and cyclopentanone in 49% yield.

IT 577967-53-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aryl- and heteroarylpiperazines with histamine H3 receptor affinity)

RN 577967-53-4 CAPLUS

CN Quinoline, 6-bromo-2-[4-(1-methylethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

IT 577966-67-7P 577966-68-8P 577966-91-7P 577966-92-8P 577966-98-4P 577966-99-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl- and heteroarylpiperazines with histamine H3 receptor affinity)

RN 577966-67-7 CAPLUS

CN Quinoline, 6,8-difluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

## ●x HCl

RN 577966-68-8 CAPLUS

CN Quinoline, 8-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

## ●x HCl

RN 577966-91-7 CAPLUS

CN Quinoline, 7-chloro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

## •x HCl

RN 577966-92-8 CAPLUS

CN Quinoline, 6-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

### ●x HCl

RN 577966-98-4 CAPLUS

CN Quinoline, 7-fluoro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

## •x HCl

RN 577966-99-5 CAPLUS

CN Quinoline, 6-chloro-2-[4-(1-methylethyl)-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

### •x HCl

L13 ANSWER 23 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:319699 CAPLUS

DN 138:314635

TI Anti-glycation agents for preventing age-, diabetes-, and smoking-related complications

IN Yeboah, Faustinus; Konishi, Yasuo; Cho, Sung Ju; Lertvorachon, Jittiwud; Kiyota, Taira; Tomasz, Popek

PA National Research Council of Canada, Can.

SO PCT Int. Appl., 58 pp. CODEN: PIXXD2

DTPatent LА English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE --------------PΙ WO 2003032969 A2 20030424 WO 2002-CA1552 20021015 WO 2003032969 Α3 20030912 WO 2003032969 В1 20031016 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, W: CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2463624 AA 20030424 CA 2002-2463624 20021015 A2 20040714 EP 2002-774182 EP 1435930 20021015 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK 20050224 US 2004-492553 US 2005043408 20041008 A1 PRAI US 2001-328808P Ρ 20011015 WO 2002-CA1552 W 20021015 OS MARPAT 138:314635 AΒ The invention provides inhibitors of protein glycation, identified from compound libraries by a high throughput screening assay. The anti-glycation agents so identified are characterized by a variety of chemical structures and are useful for the prevention or treatment of age-, diabetes-, and smoking-related complications, including neuropathy, nephropathy, ocular pathologies, or the loss of mech. properties of collagenous tissues. Among compds. identified as having the anti-glycation activity, of special interest are epinephrine and its analogs, in particular D-epinephrine and its analogs, which are particularly useful for the prevention or treatment of age-, diabetes-, and smoking-related ocular pathologies. Preparation of e.g. D-norepinephrine dipivalate is described. IT 77372-73-7, 6-Nitroquipazine 77372-73-7D, 6-Nitroquipazine, prodrugs and derivs. RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anti-glycation agents for preventing age-, diabetes-, and smoking-related complications) 77372-73-7 CAPLUS RN CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 77372-73-7 CAPLUS
CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 29 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:675122 CAPLUS

DN 138:297072

TI Electrostatic Potential Surfaces of 5-HT3R Agonists Suggest Accessory Cation- $\pi$  Site Adjacent to Agonist Binding Domain

AU Parihar, Harish S.; Kirschbaum, Karen S.

CS College of Pharmacy, Department of Basic Pharmaceutical Sciences, The University of Louisiana at Monroe, Monroe, LA, 71209, USA

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(19), 2743-2747 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB Electrostatic potential surface mapping of various aromatic ring systems contained in 5-HT3R agonists indicate that some agonists contain an aromatic moiety capable of a favorable cation- $\pi$  interaction next to the e-face of pyridine (or its bioisostere). A pharmacophore model has been proposed based on superimposition of two distinct aryl' interactions.

IT 77372-73-7 124782-98-5

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(electrostatic potential surfaces of 5-HT3R agonists suggest accessory cation- $\pi$  site adjacent to agonist binding domain)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 124782-98-5 CAPLUS

CN Quinoline, 7-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 30 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:646918 CAPLUS

DN 137:289000

TI Quinoline derivatives and pharmaceutical composition for correction of

hemostasis system

IN Severin, E. S.; Severin, S. E.; Khomyakov, Yu. N.; Kryukov, L. N.; Dukhanin, A. S.; Vorontsov, E. A.; Krylov, I. I.; Kuznetsov, S. L.

PA Moskovskii Nauchno-Issledovatel'skii Institut Meditsinskoi Ekologii (MNIIME), Russia

SO Russ., No pp. given CODEN: RUXXE7

DT Patent

LA Russian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	RU 2177317	C1	20011227	RU 2000-126596	20001024
PRAI	RU 2000-126596		20001024		

AB Method is disclosed for correction of hemostasis system by using quinoline derivs. and pharmaceutical composition The invention relates to agent used for correction of hemostasis. Method proposes quinoline derivs., a pharmaceutical composition and their using. The invention provides the expressed biol. activity of compds. and for one of them at the same level as for hirudin, one of the most active and expensive imported drugs. Coagulating and anticoagulant activity of these substances is associated with their effect on the function of the thrombin/thrombin receptors system.

IT 77372~73-7P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(quinoline derivs. and pharmaceutical composition for correction of hemostasis system)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 31 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:615577 CAPLUS

DN 137:169536

TI Preparation of aryl-substituted tetrahydropyrimidines and related compounds as melanocortin-4 receptor binding compounds

IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 228 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
PI	WO	2002	0627	66		A2	_	2002	0815	1	WO 2	002-	US35	 66		2	00202	207
	WO	2002	0627	66		A3		2002	1003									
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR.	KZ.	LC.	LK.	LR.

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-778468
     US 6699873
                          В1
                                20040302
                                                                    20010207
     EP 1363890
                          A2
                                20031126
                                            EP 2002-718920
                                                                    20020207
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2001-778468
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                                20010207
     US 1999-147288P
                          Ρ
                                19990804
     US 2000-223277P
                                20000803
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     US 2000-632309
                          A2
                                20000804
     WO 2002-US3566
                          W
                                20020207
     MARPAT 137:169536
OS
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AB Title compds. I [wherein A and B = independently (un) substituted biaryl, (hetero)aryl, Ph, (cyclo)alkyl, (cyclo)alkoxy, alkenyl, alkynyl, OH, acyl(oxy), carbamoyl, amino, thiol, amidino, imino, NO2, N3, etc.; L1 and L2 =- covalent bond or (un) substituted alkyl optionally interrupted by O, S, or N; r = covalent bond, CH, CH2, CHR1, CR1R2, or H; t = CH, CH2, CHR3, CR3R4, or H; s = CHR5, CR5R6, or absent; R = H, (un)substituted alkyl, arylalkyl, or heteroalkyl, and may optionally be linked to A, B, L1, or L2; R1-R6 = independently (un) substituted alkyl, halo, thiol, thioether, thioalkyl, alkoxy, and may be optionally linked to each other to form addnl. ring moieties, e.g., quinoxalinyl; or pharmaceutically acceptable salts thereof] were prepared as melanocortin-4 receptor binding (MC4-R) compds. For example, stirring a solution of  $\alpha\text{--tolunitrile}$  with diisopropylamine and BuLi in hexanes at  $-78^{\circ}$  under nitrogen for 1 h, followed by addition of HMPA and 1-chloromethylnaphthalene in THF, afforded 2-(2-naphthalen-1-ylethyl)benzonitrile. Heating the benzonitrile with 1,3-diaminopropane in the presence of H2S at 80° for 72 h gave the tetrahydropyrimidinyl cycloaddn. product II. The latter exhibited exemplary inhibition of MC4-R in a scintillation proximity assay. I are useful for the treatment of disorders associated with pigmentation, bones, or weight loss (no data).

IT 326483-33-4P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

 $(MC4-R \ binding \ compound; \ preparation \ of \ aryl-substituted tetrahydropyrimidines$ 

and related compds. as melanocortin-4 receptor binding compds. for treatment of pigmentation, bone, and weight loss disorders)

RN 326483-33-4 CAPLUS

CN Quinoline, 7-chloro-4-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 33 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:368310 CAPLUS

DN 136:363866

TI Serotonergic compositions and methods for treatment of mild cognitive impairment

IN Wurtman, Richard J.; Lee, Robert K. K.

PA Massachusetts Institute of Technology, USA

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.								APPLICATION NO.						DATE			
														20011108			
		ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,			•		•				
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
		SD,	SE,	SG,				•	•	•	•	•	-		•	•	•
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		IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,								
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	PAT WO WO WO	PATENT	PATENT NO.  WO 20020381  WO 20020381  W: AE,  CR,  HU,  LU,  SD,  YU,  RW: GH,  KZ,  IE,  GQ,  AU 20020304  US 20021735  US 2000-246	PATENT NO.  WO 2002038142  WO 2002038142  W: AE, AG, CR, CU, HU, ID, LU, LV, SD, SE, YU, ZA, RW: GH, GM, KZ, MD, IE, IT, GQ, GW, AU 2002030423 US 2002173511 US 2002173549 US 2000-246615P	PATENT NO.   WO 2002038142  W: AE, AG, AL, CR, CU, CZ, HU, ID, IL, LU, LV, MA, SD, SE, SG, YU, ZA, ZW RW: GH, GM, KE, KZ, MD, RU, IE, IT, LU, GQ, GW, ML, AU 2002030423 US 2002173511 US 2000-246615P	PATENT NO. KINI  WO 2002038142 A2  WO 2002038142 A3  W: AE, AG, AL, AM, CR, CU, CZ, DE, HU, ID, IL, IN, LU, LV, MA, MD, SD, SE, SG, SI, YU, ZA, ZW  RW: GH, GM, KE, LS, KZ, MD, RU, TJ, IE, IT, LU, MC, GQ, GW, ML, MR, AU 2002030423 A5 US 2002173511 A1 US 2000-246615P P	PATENT NO. KIND	PATENT NO. KIND DATE APPL  WO 2002038142 A2 20020516 WO 2  WO 2002038142 A3 20030814  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, HU, ID, IL, IN, IS, JP, KE, KG, KP, LU, LV, MA, MD, MG, MK, MN, MW, MX, SD, SE, SG, SI, SK, SL, TJ, TM, TR, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, IE, IT, LU, MC, NL, PT, SE, TR, BF, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2 US 2002173511 A1 20021121 US 2 US 2000-246615P P 20001108	PATENT NO. KIND DATE APPLICAT  WO 2002038142 A2 20020516 WO 2001-1  WO 2002038142 A3 20030814  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-1 US 2002173511 A1 20021121 US 2001-1 US 20001-246615P P 20001108	PATENT NO. KIND DATE APPLICATION NO. WO 2002038142 A2 20020516 WO 2001-US43 WO 2002038142 A3 20030814 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-3042 US 2002173511 A1 20021121 US 2001-9864 US 2000-246615P P 20001108	PATENT NO. KIND DATE APPLICATION NO.  WO 2002038142 A2 20020516 WO 2001-US43016  WO 2002038142 A3 20030814  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-30423  US 2002173511 A1 20021121 US 2001-986469  US 2000-246615P P 20001108	PATENT NO. KIND DATE APPLICATION NO.  WO 2002038142 A2 20020516 WO 2001-US43016  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-30423  US 2002173511 A1 20021121 US 2001-986469  US 2000-246615P P 20001108	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 2002038142 A2 20020516 WO 2001-US43016 20 WO 2002038142 A3 20030814  W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-30423 20 US 2002173511 A1 20021121 US 2001-986469 20 US 2000-246615P P 20001108	PATENT NO. KIND DATE APPLICATION NO. DATE  WO 2002038142 A2 20020516 WO 2001-US43016 20011  WO: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, YU, ZA, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GQ, GW, ML, MR, NE, SN, TD, TG  AU 2002030423 A5 20020521 AU 2002-30423 20011  US 2002173511 A1 20021121 US 2001-986469 20011  US 2002173549 A1 20021121 US 2001-986470 20011			

AB A method of treating mild cognitive impairment is disclosed. The method comprises administering an effective amount of a serotonergic agent, including, but not limited to, dexnorfenfluramine. The agent can be any serotonergic agonist, partial agonist, serotonin reuptake inhibitor, or combinations of these agents. The treatment method also encompasses combinations of serotonergic agents and nonsteroidal antiinflammatory agents. The treatment method may also delay the onset of mild cognitive impairment, dementia, or both.

#### 10509483

IT 77372-73-7, 6-Nitroquipazine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(serotonergic compns. and methods for treatment of mild cognitive impairment)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 34 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:162096 CAPLUS

DN 136:334744

TI Molecular design based on 3D-pharmacophore. Application to 5-HT subtypes receptors

AU Bureau, Ronan; Daveu, Cyril; Lancelot, Jean-Charles; Rault, Sylvain

CS Centre d'Etudes et de Recherche sur le Medicament de Normandie, Universite de Caen, Caen, 14032, Fr.

SO Journal of Chemical Information and Computer Sciences (2002), 42(2), 429-436
CODEN: JCISD8; ISSN: 0095-2338

PB American Chemical Society

DT Journal

LA English

AB A first definition of a pharmacophore for the serotonin reuptake inhibitors was carried out by considering a three-dimensional model which correlates the chemical structures of series of reuptake inhibitors with their biol. affinities. A mol. design was described by analyzing two different 3D serotonin pharmacophores. This successful approach enabled us to consider the design of new serotonin ligands by the same method.

IT 77372-73-7

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(mol. design based on 3D-pharmacophore: application to 5-HT subtypes receptors)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperaziny1)- (9CI) (CA INDEX NAME)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 35 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:136925 CAPLUS

DN 137:33193

TI Syntheses and binding affinities of 6-nitroquipazine analogues for

#### 10509483

serotonin transporter. Part 2: 4-Substituted 6-nitroquipazines

AU Lee, Byoung Se; Chu, Soyoung; Lee, Bon-Su; Chi, Dae Yoon; Song, Yun Seon; Jin, Changbae

CS Department of Chemistry, Inha University, Namgu, Inchon, 402-751, S. Korea

SO Bioorganic & Medicinal Chemistry Letters (2002), 12(5), 811-815 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:33193

GΙ

AB Eleven 4-substituted derivs. of 6-nitroquipazine, e.g., I, were synthesized and evaluated for their abilities to displace [3H]citalopram binding to the rat cortical synaptic membranes. I was shown to possess the highest binding affinity (Ki = 0.03 nM) which was approx. 6 times higher than that of 6-nitroquipazine (Ki = 0.17 nM) itself. The results of corresponding biol. evaluation and the SAR study are described.

IT 437708-78-6P, 4-Vinyl-6-nitroquipazine 437708-83-3P,

4-(2-Furanyl)-6-nitroquipazine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and binding affinity of alkylnitroquipazines as ligands for serotonin transporter via Stille coupling of alkyltributylstannanes and bromonitroquipazine)

RN 437708-78-6 CAPLUS

CN Quinoline, 4-ethenyl-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 $CH = CH_2$ 

RN 437708-83-3 CAPLUS

CN Quinoline, 4-(2-furanyl)-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

IT 437708~79-7P, 4-(2-Propenyl)-6-nitroquipazine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and binding affinity of alkylnitroquipazines as ligands for serotonin transporter via Stille coupling of stannylquinolines and alkyl halides)

RN 437708-79-7 CAPLUS

CN Quinoline, 4-(1-methylethenyl)-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 $C-Me$ 
 $CH_2$ 

IT 143954-73-8P, 4-Bromo-6-nitroquipazine 437708-76-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and binding affinity of halonitroquipazines as ligands for serotonin transporter via amidation of nitroaniline and subsequent cyclocondensation, halogenation and piperazinyl-substitution)

RN 143954-73-8 CAPLUS

CN Quinoline, 4-bromo-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 437708-76-4 CAPLUS

CN Quinoline, 4-chloro-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

IT 437708-77-5P, 4-Iodo-6-nitroquipazine

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and binding affinity of iodonitroquipazine as a ligand for serotonin transporter via piperazinyl-substitution of

dibromonitroquinoline and subsequent stannylation and iodination)

RN 437708-77-5 CAPLUS

CN Quinoline, 4-iodo-6-nitro-2-(1-piperaziny1)- (9CI) (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 39 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

2001:564823 CAPLUS AN

DN 135:132455

ΤI Composition for treatment of stress

Wurtman, Judith J.; Wurtman, Richard J. IN

PΑ Massachusetts Institute of Technology, USA

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DTPatent

LΑ English

FAN.CNT 3

		_																
	PAT	CENT 1	NO.			KIND DATE			APPLICATION NO.						DATE			
PI	WO 2001054681				A2 20010802			WO 2001-US2854						20010129				
	WO 2001054681				C1 20020117													
		W:	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
			ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM				
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	US 6579899					B1 20030617			7 US 2000-492110						20000127			
	CA 2398821					AA		2001	0802	1	CA 2	001-	2398	821		2	0010	129

EP 1253915 Α1 20021106 EP 2001-905173 20010129 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2003521498 T2 20030715 JP 2001-555659 20010129 PRAI US 2000-492110 A2 20000127 US 1998-93013P Р 19980716 US 1999-354738 В2 19990716 WO 2001-US2854 W 20010129

AB A method of treating stress in a patient showing stress related symptoms is disclosed, where the method comprises administering to the patient an effective amount of a serotoninergic drug or prodrug. Specific examples of such drugs are described, and include, among others, tryptophan or 5-hydroxytryptophan, or their salts.

IT 77372-73-7, DU 24565

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(composition for treatment of stress using serotoninergic drugs or prodrugs) RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 41 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:489378 CAPLUS

DN 135:92650

TI Preparation of 9-piperazinoalkyl-9H-fluorene-9-carboxamides as inhibitors of microsomal triglyceride transfer protein (MTP)

IN Lehmann-Lintz, Thorsten; Heckel, Armin; Thomas, Leo; Mark, Michael

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
PI	WO 2001047898 WO 2001047898						WO 2000-EP12843						20001216					
	wO	W:	AE,	AG,	AL,		AT,	AU,	AZ,					•				
								DM, JP,						-				
			•		•	•		MK, SL,	•	•	•	•	•	•	•	•	•	•
		₽W•	YU,	ZA,	ZW,	AM,	AZ,	BY, MZ,	`KG,	KZ,	MD,	RU,	ТJ,	TM	•	·	·	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	•	•
	DE	1996	•	CF,	CG,	A1		GA, 2002	•	•	•	•	•		TD,		99912	227
		2395 1255						2001 2002								_	00012 00012	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2003521484 Т2 20030715 JP 2001-549370 20001216 US 2003166637 A1 20030904 US 2002-168486 20021009 US 6821967 B2 20041123 PRAI DE 1999-19963234 Α 19991227 WO 2000-EP12843 W 20001216 MARPAT 135:92650 OS. GI

Title compds. [I; R1 = (substituted) (polycyclic) aryl, heteroaryl; R2, R3 = H, alkyl; R5, R6 = H, (substituted) alkyl, cycloalkyl, Ph, alkoxycarbonylalkyl, carboxyalkyl, etc.; R5R6N = cycloalkylenimino; X = bond, O, CH2, CH2CH2, (substituted) imino; X1 = (CH2)m; X2 = (CH2)n; m = 2,3; n = 1-5] were prepared as MTP inhibitors (no data). Thus, 9-(4-bromobutyl)-9H-fluoren-9-(2,2,2-trifluoroethyl)carboxamide (preparation given) was stirred for 10 h at room temperature with 2-(piperazin-1-yl)benzothiazole, K2CO3 and H2O in MeCN to give 60.4% 9-[4-(4-benzothiazol-2-yl)-piperazin-1-ylbutyl]-9H-fluoren-9-(2,2,2-trifluoroethyl)carboxamide.

IT 78060-46-5 124782-91-8 348133-65-3 348133-95-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazinoalkylfluorenecarboxamides as inhibitors of
microsomal triglyceride transfer protein (MTP))

RN 78060-46-5 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 124782-91-8 CAPLUS

CN Quinoline, 5-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 348133-65-3 CAPLUS CN Quinoline, 8-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 348133-95-9 CAPLUS
CN Quinoline, 5-chloro-2-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

IT 348133-70-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperazinoalkylfluorenecarboxamides as inhibitors of microsomal triglyceride transfer protein (MTP))

RN 348133-70-0 CAPLUS

CN Quinoline, 8-bromo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

10509483 ANSWER 46 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN AN 2000:496108 CAPLUS DN 133:266814 ΤI Syntheses and binding affinities of 6-nitroquipazine analogues for serotonin transporter Lee, B. S.; Chu, S.; Lee, B. C.; Chi, D. Y.; Choe, Y. S.; Jeong, K. J.; ΑU CS Department of Chemistry, Inha University, Inchon, 402-751, S. Korea Bioorganic & Medicinal Chemistry Letters (2000), 10(14), 1559-1562 SO CODEN: BMCLE8; ISSN: 0960-894X PB Elsevier Science Ltd. DTJournal English LA 6-Nitroquipazine has been known as one of the most potent and selective AB inhibitors of serotonin transporter in vitro and in vivo. Nine derivs. of 6-nitroquipazine were synthesized and tested for their potential abilities to displace [3H]citalopram binding to the rat cortical membranes. 78060-46-5P 124782-95-2P 160006-50-8P 296759-20-1P 296759-21-2P 296759-22-3P 296759-24-5P 296759-25-6P 296759-26-7P RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (syntheses and binding affinities of 6-nitroquipazine analogs for serotonin transporter) RN78060-46-5 CAPLUS CN Quinoline, 6-chloro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME) RN 124782-95-2 CAPLUS

RN 124782-95-2 CAPLUS
CN Quinoline, 6-bromo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 160006-50-8 CAPLUS
CN Quinoline, 3-bromo-6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 296759-20-1 CAPLUS

CN Quinoline, 2-(3-methyl-1-piperazinyl)-6-nitro- (9CI) (CA INDEX NAME)

RN 296759-21-2 CAPLUS

CN 1,2-Ethanediamine, N,N'-dimethyl-N-(6-nitro-2-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \mid \\ \text{N- CH}_2\text{- CH}_2\text{- NHMe} \end{array}$$

RN 296759-22-3 CAPLUS

CN 1,2-Ethanediamine, N-(6-nitro-2-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-NH}_2 \\ \\ \text{O}_2\text{N} \end{array}$$

RN 296759-24-5 CAPLUS

CN Quinoline, 6,8-dinitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 296759-25-6 CAPLUS

CN Quinoline, 6-iodo-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

RN 296759-26-7 CAPLUS

CN Quinoline, 3-bromo-6-nitro-2-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

IT 77372-73-7

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(syntheses and binding affinities of 6-nitroquipazine analogs for serotonin transporter)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperaziny1)- (9CI) (CA INDEX NAME)

# RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 47 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:68328 CAPLUS

DN 132:117552

TI Composition and method using serotoninergic drug for treatment of stress

IN Wurtman, Judith J.; Wurtman, Richard J.

PA Massachusetts Institute of Technology, USA

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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PA	CENT :	NO.			KIND DATE			APPLICATION NO.						DATE			
						_											
WO	2000	0037	01		A1		2000	0127	1	WO 1	999-1	US16	153		1	9990	716
	W:	CA,	JΡ														
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
		PT,	SE														
CA	2337	507			AA		2000	0127		CA 1	999-	2337	507		1	9990	716
ĒΡ	1096	927			A1		2001	0509		EP 1	999-	9341	07		1	9990	716
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FI														
	WO CA	WO 2000 W: RW: CA 2337 EP 1096	W: CA, RW: AT, PT, CA 2337507 EP 1096927 R: AT,	WO 2000003701 W: CA, JP RW: AT, BE, PT, SE CA 2337507 EP 1096927	WO 2000003701 W: CA, JP RW: AT, BE, CH, PT, SE CA 2337507 EP 1096927 R: AT, BE, CH,	WO 2000003701 A1 W: CA, JP RW: AT, BE, CH, CY, PT, SE CA 2337507 AA EP 1096927 A1 R: AT, BE, CH, DE,	WO 2000003701 A1  W: CA, JP  RW: AT, BE, CH, CY, DE,  PT, SE  CA 2337507 AA  EP 1096927 A1  R: AT, BE, CH, DE, DK,	WO 2000003701 A1 20000 W: CA, JP RW: AT, BE, CH, CY, DE, DK, PT, SE CA 2337507 AA 20000 EP 1096927 A1 20010 R: AT, BE, CH, DE, DK, ES,	WO 2000003701 A1 20000127 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, PT, SE CA 2337507 AA 20000127 EP 1096927 A1 20010509 R: AT, BE, CH, DE, DK, ES, FR,	WO 2000003701 A1 20000127 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, PT, SE CA 2337507 AA 20000127 EP 1096927 A1 20010509 R: AT, BE, CH, DE, DK, ES, FR, GB,	WO 2000003701 A1 20000127 WO 19 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, PT, SE  CA 2337507 AA 20000127 CA 19 EP 1096927 A1 20010509 EP 19 R: AT, BE, CH, DE, DK, ES, FR, GB, GR,	WO 2000003701 A1 20000127 WO 1999-W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, PT, SE CA 2337507 AA 20000127 CA 1999-EP 1096927 A1 20010509 EP 1999-R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT,	WO 2000003701 A1 20000127 WO 1999-US169 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, PT, SE CA 2337507 AA 20000127 CA 1999-23379 EP 1096927 A1 20010509 EP 1999-93410 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI,	WO 2000003701 A1 20000127 WO 1999-US16153 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, PT, SE  CA 2337507 AA 20000127 CA 1999-2337507 EP 1096927 A1 20010509 EP 1999-934107 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU,	WO 2000003701 A1 20000127 WO 1999-US16153 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, PT, SE  CA 2337507 AA 20000127 CA 1999-2337507 EP 1096927 A1 20010509 EP 1999-934107 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL,	WO 2000003701 A1 20000127 WO 1999-US16153 19 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, PT, SE  CA 2337507 AA 20000127 CA 1999-2337507 19 EP 1096927 A1 20010509 EP 1999-934107 19 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,	WO 2000003701 A1 20000127 WO 1999-US16153 19990 W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, PT, SE CA 2337507 AA 20000127 CA 1999-2337507 19990 EP 1096927 A1 20010509 EP 1999-934107 19990 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,

JP 2002520353 T2 20020709 JP 2000-559836 19990716 PRAI US 1998-93013P P 19980716 WO 1999-US16153 W 19990716

AB A method of treating stress in a patient showing stress-related symptoms comprises administering to the patient an effective amount of a serotoninergic drug. Specific examples of this class of drugs are described, and include as examples, among others, the use of lithium, chlorimipramine, fluoxetine, fluvoxamine, sertraline, MK-212, Ro 60-0332/ORG 35035, Ro 60-175/ORG 35030, d,l-fenflurarnine, dexfenfluramine, or a salt thereof.

IT 77372-73-7, DU 24565

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(serotoninergic drug for treatment of stress)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

# RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 87 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1989:173256 CAPLUS

DN 110:173256

TI (Piperazinylalkyl)piperazinedione derivatives as anxiolytics and antipsychotics, their preparation, and formulations containing them

IN Lavielle, Gilbert; Poignant, Jean Claude

PA ADIR, Fr.

SO Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

PAN.	CNT I	•			
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 296048	A1	19881221	EP 1988-401458	19880614
	EP 296048	В1	19911121		
	R: AT, BE, CH,	DE, FR	, GB, IT, LI	, LU, NL, SE	
	FR 2616433	<b>A</b> 1	19881216	FR 1987-8263	19870615
	FR 2616433	B1	19890901		
	US 4877788	Α	19891031	US 1988-206512	19880614
	AT 69611	E	19911215	AT 1988-401458	19880614
	US 4943577	Α	19900724	US 1989-382252	19890719
PRAI	FR 1987-8263	Α	19870615	•	
	EP 1988-401458	Α	19880614		
	US 1988-206512	A3	19880614		
os	CASREACT 110:173256	; MARPA	T 110:173256	)	
GI					

$$R^{2}N$$
 $N (CH_{2})_{n}N$ 
 $NR^{3}$ 

The title compds. [I; R1 = H; R2 = (substituted) PhCH2; R1, R2, and the piperazinedione moiety may form a hexahydropyrazinoisoquinolinedione or hexahydropyrazino-β-carbolinedione moiety; R3 = (substituted) quinolyl, indolyl, etc.; n = 2-4], useful as anxiolytics and antipsychotics, were prepared Alkylation of 11,11a-dihydro-2H-pyrazino[1,2-b]isoquinoline-1,3(4H,6H)-dione (preparation given) with BrCH2CH2CH2Cl, followed by reaction with 1-[3-(trifluoromethyl)phenyl]piperazine and acidification, gave pyrazinoisoquinoline II.2HCl. In an antipsychotic test of inhibition of conditioned response in rats, II.2HCl at 20 mg/kg i.p. achieved inhibition of 11%. A tablet formulation contd. II.2HCl 2, starch 120, Mg stearate 15, and talc 20 g.

II

IT 77372-73-7

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in preparation of anxiolytic and antipsychotic)

RN 77372-73-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 94 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:568952 CAPLUS

DN 95:168952

TI Phosphoramides. XV. Phosphorus pentoxide amine mixtures as reagents in the synthesis of 2-(dialkylamino)quinolines

AU Hansen, Bo W.; Pedersen, Erik B.

CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SO Liebigs Annalen der Chemie (1981), (8), 1485-91 CODEN: LACHDL; ISSN: 0170-2041

DT Journal

LA English

OS CASREACT 95:168952

GI

AB Stirring 3,4-R1R2C6H3NHCOCH2R (R = H, Me; R1 = H, C1; R2 = H, Me, EtO, C1, Br) with R32NH (R32N = Et2N, 4-morpholinyl, 1-piperidyl, 4-methyl-1-piperidyl, Bu2N, Me2N, 1-pyrrolidinyl) at 250° gave 23-61% quinolines I. A mechanism is proposed.

IT 79489-60-4P

RN 79489-60-4 CAPLUS

CN Quinoline, 6-chloro-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 95 OF 101 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:425132 CAPLUS

DN 95:25132

TI Pharmacologically active 2-(1-piperazinyl)-quinoline derivatives

PA Duphar International Research B. V., Neth.

SO Neth. Appl., 17 pp.

CODEN: NAXXAN

DT Patent

LA Dutch

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI NL 7904723	Α	19801222	NL 1979-4723	19790618
PRAI NL 1979-4723	Α	19790618		
GI				

AB Piperazinylquinolines I (R = H, halogen; R1 = halogen, NO2, CF3, cyano) were prepared Thus, 2,6-dichloroquinoline was treated with piperazine to give I (R = H, R1 = C1) with antidepressant ED50 and with serotonin-potentiation of 7 mg/kg orally in mice.

IT 78060-47-6P 78060-48-7P 78060-52-3P

78060-53-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antidepressant activity of)

RN 78060-47-6 CAPLUS

CN Quinoline, 6-chloro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 78060-46-5 CMF C13 H14 C1 N3

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 78060-48-7 CAPLUS

CN Quinoline, 6-nitro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 77372-73-7 CMF C13 H14 N4 O2

## 10509483

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 78060-52-3 CAPLUS

CN Quinoline, 5,6-dichloro-2-(1-piperazinyl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 78060-51-2 CMF C13 H13 C12 N3

CM 2

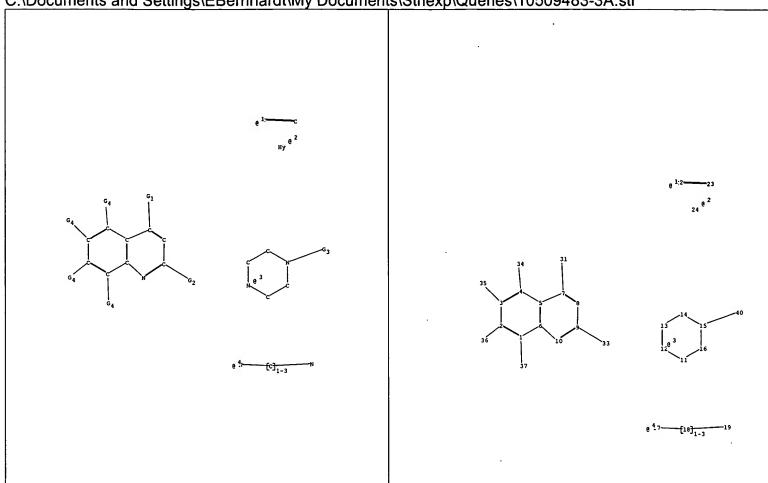
CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 78060-53-4 CAPLUS

CN Quinoline, 6-bromo-2-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\10509483-3A.str



chain nodes:

17 18 19 22 23 24 31 33 34 35 36 37 40

ring nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds:

1-37 2-36 3-35 4-34 7-31 9-33 15-40 17-18 18-19 22-23

ring bonds:

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 exact/norm bonds :

1-37 2-36 3-35 4-34 7-31 9-33 11-12 11-16 12-13 13-14 14-15 15-16 15-40 17-18 18-19 exact bonds :

22-23

normalized bonds:

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

isolated ring systems:

containing 1:

G1:CH3,H,X,[\*1],[\*2]

G2:[\*3],[\*4]

G3:CH3,Et,n-Pr,i-Pr,H

G4:H,X,NO2

# Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLAS\$18:CLAS\$19:CLAS\$22:CLAS\$23:CLAS\$24:Atom 31:CLAS\$33:CLAS\$34:CLAS\$35:CLAS\$36:CLAS\$37:CLAS\$40:CLAS\$

# Generic attributes:

24:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

# **Element Count:**

Node 24: Limited

0,01

**S,S**0

N,N0

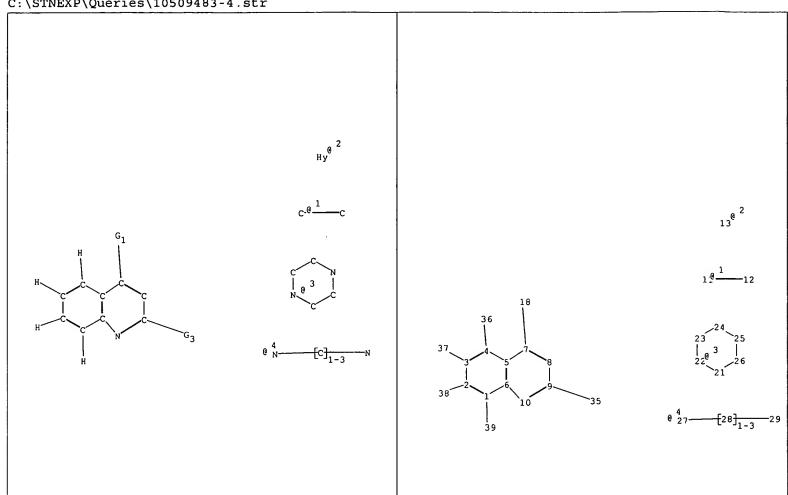
G1:CH3,H,X,[\*1],[\*2]

G2:NO2,X,H

G3:[\*3],[\*4]

Match level :

12:CLASS



```
chain nodes :
   11 12 13 18 27 28 29 35 36 37 38 39
ring nodes :
   1 2 3 4 5 6 7 8 9 10 21 22 23 24 25 26
chain bonds :
   1-39 2-38 3-37 4-36 7-18 9-35 11-12 27-28 28-29
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 21-22 21-26 22-23 23-24
   24-25 25-26
exact/norm bonds :
   7-18 9-35 21-22 21-26 22-23 23-24 24-25 25-26 27-28 28-29
exact bonds :
   1-39 2-38 3-37 4-36 11-12
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
   containing 1 : 21 :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS

13:Atom 18:CLASS 21:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS 29:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS Generic attributes :

13:

Saturation : Unsaturated Number of Carbon Atoms : less than 7 Type of Ring System : Monocyclic

Element Count :

Node 13: Limited

0,01 S,S0 N,N0